10/552,015

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=> d
L1 HAS NO ANSWERS
L1               STR
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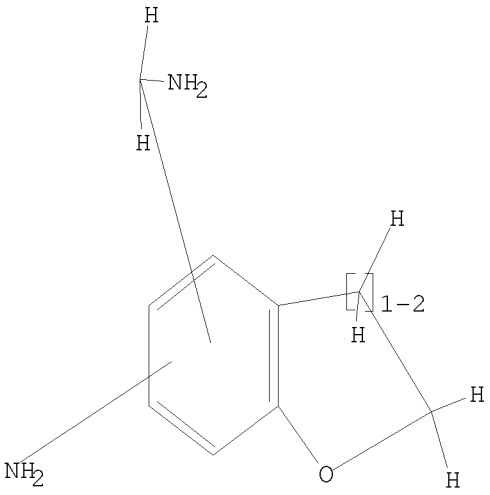


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Structure attributes must be viewed using STN Express query preparation.


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Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.




THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
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FULL SCREEN SEARCH COMPLETED -  2411081 TO ITERATE

 83.0% PROCESSED  2000000 ITERATIONS  (     1 INCOMPLETE)        1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.10

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      2411081 TO  2411081
PROJECTED ANSWERS:               1 TO        4

L2            1 SEA SSS FUL L1
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L3 1 L2

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THE ESTIMATED COST FOR THIS REQUEST IS 5.64 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:848926 CAPLUS
DOCUMENT NUMBER: 136:119162
TITLE: Preparation and characterization of a new solvent-free polymer electrolyte based on spiroketal structure
AUTHOR(S): Tsutsumi, Hiromori; Shirotani, Rumiko; Onimura, Kenjiro; Oishi, Tsutomu
CORPORATE SOURCE: Department of Applied Chemistry and Chemical Engineering, Faculty of Engineering, Yamaguchi University, Yamaguchi, 755-8611, Japan
SOURCE: Electrochemical and Solid-State Letters (2001), 4(12), A195-A196
CODEN: ESLEF6; ISSN: 1099-0062
PUBLISHER: Electrochemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Solvent-free solid polymer electrolytes based on spiropolymers were prepared and their properties were confirmed by conductance, differential scanning calorimetry, and X-ray diffraction measurements. The spiropolymer was synthesized from the bicyclic diketone and pentaerythritol. The spiro-polyketal (SP) dissolves lithium perchlorate and the conductivity of the (SP)1.5(LiClO4)1 complex is 4.24 + 10-5 S cm-1 at 30° and 3.83 + 10-4 S cm-1 at 60°.
IT 391671-11-7P
RL: POF (Polymer in formulation); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(preparation and characterization of a new solvent-free polymer electrolyte based on spiroketal structure)
RN 391671-11-7 CAPLUS
CN Poly(3''a,6''a-diethyltetrahydrodispiro[1,3-dioxane-5,5'-[1,3]dioxane-2',2''(1''H)-pentalene]-2,5''(3''H)-diylidene) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	4.38	190.98

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.82	-0.82

FILE 'REGISTRY' ENTERED AT 16:40:18 ON 02 SEP 2009

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 1 SEP 2009 HIGHEST RN 1179012-51-1
DICTIONARY FILE UPDATES: 1 SEP 2009 HIGHEST RN 1179012-51-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> s 391671-11-7/rn
L4 1 391671-11-7/RN

=> d
THE ESTIMATED COST FOR THIS REQUEST IS 2.05 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L4 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2009 ACS on STN
RN 391671-11-7 REGISTRY
ED Entered STN: 12 Feb 2002
CN Poly(3''a,6''a-diethyltetrahydrodispiro[1,3-dioxane-5,5'-[1,3]dioxane-
2',2''(1''H)-pentalene]-2,5''(3''H)-diylidene) (9CI) (CA INDEX NAME)
MF (C18 H26 O4)n
CI PMS
PCT Double strand, Polyother
SR CA
LC STN Files: CA, CAPLUS

RELATED POLYMERS AVAILABLE WITH POLYLINK

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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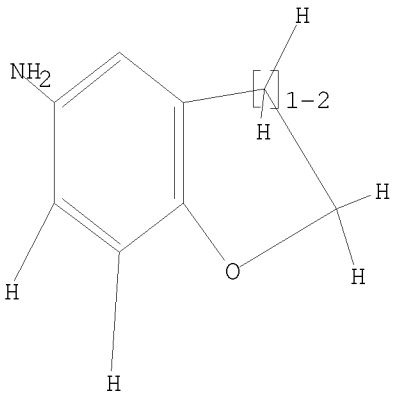
L5 HAS NO ANSWERS

L5 STR



Structure attributes must be viewed using STN Express query preparation.

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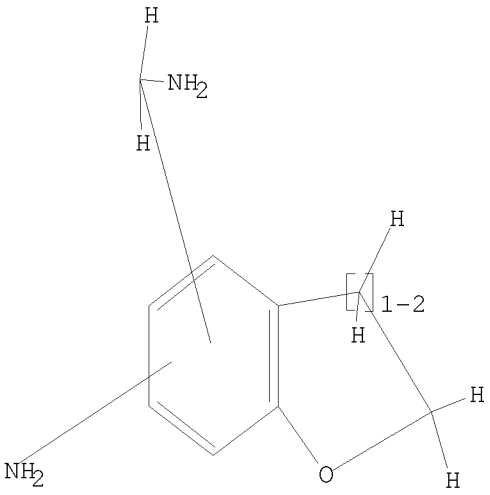

Structure attributes must be viewed using STN Express query preparation.

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FULL SCREEN SEARCH COMPLETED - 405230 TO ITERATE

100.0% PROCESSED 405230 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.07

L6 6 SEA SSS FUL L5

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	195.13	386.11

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.82

FILE 'CAPLUS' ENTERED AT 16:49:52 ON 02 SEP 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 2 Sep 2009 VOL 151 ISS 10
FILE LAST UPDATED: 1 Sep 2009 (20090901/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 9.

=> d his

(FILE 'HOME' ENTERED AT 16:38:01 ON 02 SEP 2009)

FILE 'CAPLUS' ENTERED AT 16:38:08 ON 02 SEP 2009
L1 STRUCTURE UPLOADED
S L1

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     FILE 'REGISTRY' ENTERED AT 16:38:39 ON 02 SEP 2009
L2            1 S L1 FULL

     FILE 'CAPLUS' ENTERED AT 16:38:53 ON 02 SEP 2009
L3            1 S L2 FULL

     FILE 'REGISTRY' ENTERED AT 16:40:18 ON 02 SEP 2009
L4            1 S 391671-11-7/RN
L5              STRUCTURE UPLOADED
L6            6 S L5 FULL

     FILE 'CAPLUS' ENTERED AT 16:49:52 ON 02 SEP 2009

=> d l3

L3   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2009 ACS on STN
AN   2001:848926  CAPLUS
DN   136:119162
TI   Preparation and characterization of a new solvent-free polymer electrolyte
     based on spiroketal structure
AU   Tsutsumi, Hiromori; Shirotani, Rumiko; Onimura, Kenjiro; Oishi, Tsutomu
CS   Department of Applied Chemistry and Chemical Engineering, Faculty of
     Engineering, Yamaguchi University, Yamaguchi, 755-8611, Japan
SO   Electrochemical and Solid-State Letters (2001), 4(12), A195-A196
     CODEN: ESLEF6; ISSN: 1099-0062
PB   Electrochemical Society
DT   Journal
LA   English
RE.CNT  8     THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT


=> s l5
   REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.




SAMPLE SEARCH INITIATED 16:50:38 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    20352 TO ITERATE

  9.8% PROCESSED     2000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

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                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       398496 TO   415584
PROJECTED ANSWERS:               0 TO        0

L7            0 SEA SSS SAM L5
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L8 0 L7

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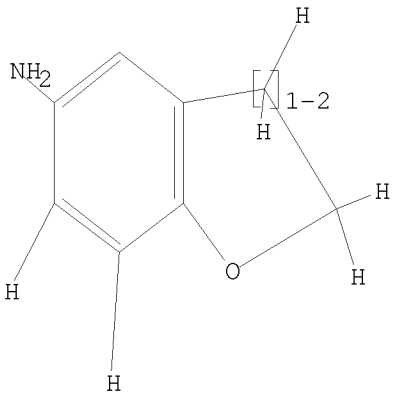
L9 STRUCTURE UPLOADED

=> d
L9 HAS NO ANSWERS
L9 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l9 full sss
REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 16:51:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 405230 TO ITERATE

100.0% PROCESSED 405230 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.07

L10 6 SEA SSS FUL L9

L11 44 L10

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L12         23 L11 AND PY<2004

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THE ESTIMATED COST FOR THIS REQUEST IS 129.72 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L12  ANSWER 1 OF 23  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2003:972057  CAPLUS
DOCUMENT NUMBER:          140:27765
TITLE:                    Preparation of piperidine derivatives as tachykinin
                          receptor antagonists for treatment of frequent
                          urination and urinary incontinence
INVENTOR(S):              Ikeura, Yoshinori; Hashimoto, Tadatoshi; Tarui, Naoki;
                          Shirai, Junya; Yamashita, Masayuki
PATENT ASSIGNEE(S):       Takeda Chemical Industries, Ltd., Japan
SOURCE:                   PCT Int. Appl., 264 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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     WO 2003101964         A1    20031211    WO 2003-JP6754          20030529 <--
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2487688            A1    20031211    CA 2003-2487688         20030529 <--
     AU 2003241903         A1    20031219    AU 2003-241903          20030529 <--
     BR 2003011425         A     20050315    BR 2003-11425           20030529
     EP 1553084            A1    20050713    EP 2003-733151          20030529
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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     CN 1671662            A     20050921    CN 2003-818354          20030529
     NZ 537330             A     20070427    NZ 2003-537330          20030529
     JP 2004285038         A     20041014    JP 2003-154345          20030530
     MX 2004011730         A     20050714    MX 2004-11730           20041125
     US 20060167052        A1    20060727    US 2004-516252          20041129
     ZA 2004010085         A     20060726    ZA 2004-10085           20041214
     IN 2004KN01942        A     20061201    IN 2004-KN1942          20041216
     NO 2004005701         A     20050216    NO 2004-5701            20041229
PRIORITY APPLN. INFO.:                       JP 2002-159338      A   20020531
                                             JP 2003-17885       A   20030127
                                             WO 2003-JP6754      W   20030529
OTHER SOURCE(S):          MARPAT 140:27765
GI
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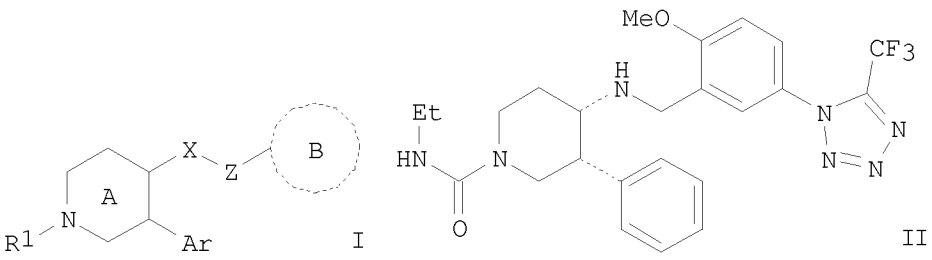
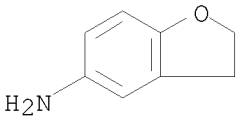


AB The title compds. I [wherein Ar = (un)substituted aryl, aralkyl, or heteroaryl; R1 = H, acyl, (un)substituted hydrocarbyl, or heterocyclyl; X = O or (un)substituted NH; Z = (un)substituted CH2; ring A = (un)substituted piperidine; ring B = (un)substituted aryl; with exclusions] or prodrugs or salts thereof are prepared I have excellent tachykinin receptor antagonistic activity, and are useful for the treatment of frequent urination and urinary incontinence (no data). For example, the compound II•xHCl was prepared in a multi-step synthesis. II showed antagonistic activity with IC50 of 0.025 nM against human substance P receptor. Formulations containing I as an active ingredient were also described.

IT 42933-43-7, 2,3-Dihydro-1-benzofuran-5-amine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperidine derivs. as tachykinin receptor antagonists for treatment of frequent urination and urinary incontinence)

RN 42933-43-7 CAPLUS

CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (31 CITINGS)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:796634 CAPLUS

DOCUMENT NUMBER: 139:292049

TITLE: Preparation of arylalkanols as glucocorticoid mimetics for treatment of inflammatory, allergic, and proliferative diseases

INVENTOR(S): Bekkali, Younes; Cardozo, Mario G.; Kirrane, Thomas M.; Kuzmich, Daniel; Proudfoot, John Robert; Takahashi, Hidenori; Thomson, David; Wang, Ji; Zindell, Renee; Harcken, Christian Hanke Justus Joachim; Razavi, Hossein

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 245 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082787	A1	20031009	WO 2003-US8589	20030321 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2003230700	A1	20031013	AU 2003-230700	20030321 <--
US 20040029932	A1	20040212	US 2003-394157	20030321
US 7268152	B2	20070911		
EP 1490317	A1	20041229	EP 2003-723790	20030321
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JP 2005521717	T	20050721	JP 2003-580258	20030321

PRIORITY APPLN. INFO.: US 2002-367801P P 20020326
WO 2003-US8589 W 20030321

OTHER SOURCE(S): MARPAT 139:292049
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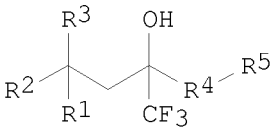

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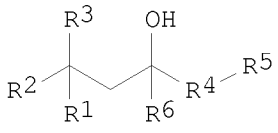

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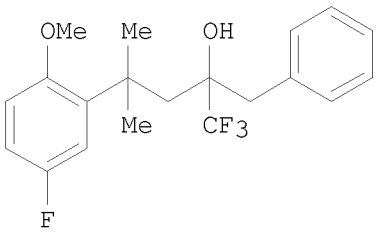


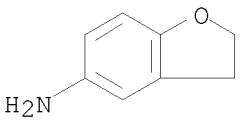
III

AB Title compds. I and II [wherein R1 = substituted (hetero)aryl; R2 and R3 = independently H or alkyl; or CR2R3 = cycloalkyl; R4 = (un)substituted alkyl, alkenyl, or alkynyl; R5 = substituted aryl; and R6 (when present) =

(un)substituted alkyl, alkenyl, alkynyl, carbocyclyl(alkyl), heterocyclyl(alkyl), (hetero)aryl(alkyl), arylhaloalkyl, carbocyclylalkenyl, heterocyclylalkenyl, or (hetero)arylalkenyl; and tautomers, prodrugs, solvates, or salts thereof] were prepared as glucocorticoid mimetics. For example, coupling of 1,1,1-trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methylpentan-2-one (preparation given) with benzylmagnesium chloride in THF provided III (62%). Over seventy compds. of the invention were tested and demonstrated potent activity (≤100 nM) in a glucocorticoid receptor (GR) binding assay. Thus, I, II, and pharmaceutical compns. containing such compds. are useful for treating inflammatory, allergic, or proliferative disorders mediated by GR function (no data).

IT 42933-43-7P, (2,3-Dihydrobenzofuran-5-yl)amine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of arylalkanols as GR modulators for treatment of inflammatory, allergic, and proliferative diseases)

RN 42933-43-7 CAPLUS
CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:796483 CAPLUS
DOCUMENT NUMBER: 139:292139
TITLE: Preparation of heteroarylalkanols as glucocorticoid mimetics for treatment of inflammatory, allergic, and proliferative diseases
INVENTOR(S): Bekkali, Younes; Betageri, Raj; Gilmore, Thomas A.; Cardozo, Mario G.; Kirrane, Thomas M.; Kuzmich, Daniel; Proudfoot, John Robert; Takahashi, Hidenori; Thomson, David; Wang, Ji; Zindell, Renee; Harcken, Christian Hanke Justus Joachim; Riether, Doris
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 277 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082280	A1	20031009	WO 2003-US8901	20030321 <--

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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
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AU 2003218342	B2	20080710			
US 20040023999	A1	20040205	US 2003-394303	20030321	
US 6903215	B2	20050607			
EP 1490062	A1	20041229	EP 2003-714339	20030321	
EP 1490062	B1	20071219			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003008784	A	20050111	BR 2003-8784	20030321	
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US 7553966	B2	20090630			
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ZA 2004006225	A	20060531	ZA 2004-6225	20060317	
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PRIORITY APPLN. INFO.:	US 2002-367758P	P	20020326
	US 2002-431817P	P	20021209
	US 2003-442404P	P	20030124
	US 2003-394303	A1	20030321
	WO 2003-US8901	W	20030321
	IN 2004-DN2316	A3	20040810
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OTHER SOURCE(S): MARPAT 139:292139
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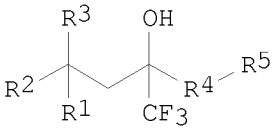

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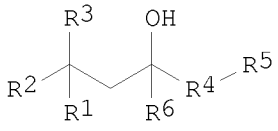

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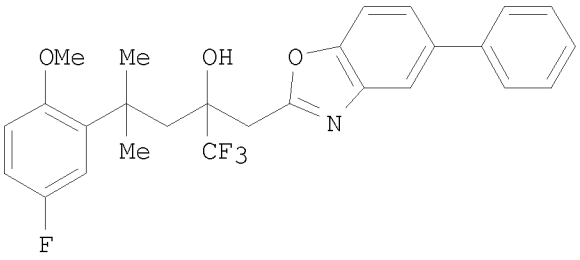


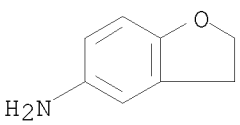
III

AB Title compds. I and II [wherein R1 = substituted (hetero)aryl; R2 and R3 = independently H or alkyl; or CR2R3 = cycloalkyl; R4 = (un)substituted alkyl, alkenyl, or alkynyl; R5 = substituted heteroaryl; and R6 (when present) = (un)substituted alkyl, alkenyl, alkynyl, carbocyclyl(alkyl), heterocyclyl(alkyl), (hetero)aryl(alkyl), arylhaloalkyl, carbocyclylalkenyl, heterocyclylalkenyl, or (hetero)arylalkenyl; and tautomers, prodrugs, solvates, or salts thereof] were prepared as glucocorticoid mimetics (no data). For example, 1,1,1-trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methylpentan-2-one (multi-step preparation from Et trifluoropyruvate, 1-bromo-2-methylpropene, and 4-fluoroanisole given) was coupled with 2-methyl-5-phenylbenzoxazole using LDA in THF to afford III. I, II, and pharmaceutical compns. containing such compds. are useful for treating inflammatory, allergic, or proliferative disorders mediated by glucocorticoid receptor (GR) function (no data).

IT 42933-43-7P, (2,3-Dihydrobenzofuran-5-yl)amine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of heteroarylalkanols as GR modulators for treatment of inflammatory, allergic, and proliferative diseases)

RN 42933-43-7 CAPLUS

CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)



OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:610204 CAPLUS

DOCUMENT NUMBER: 139:164801

TITLE: Preparation of 2,4-pyrimidinediamines as IgE and/or IgG receptor modulators for treatment of allergic diseases, inflammatory conditions, and tissue destruction

INVENTOR(S): Singh, Rajinder; Argade, Ankush; Payan, Donald G.; Molineaux, Susan; Holland, Sacha J.; Clough, Jeffrey; Keim, Holger; Bhamidipati, Somasekhar; Sylvain, Catherine; Li, Weigun; Rossi, Alexander B.

PATENT ASSIGNEE(S): Rigel Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 648 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

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WO 2003063794	A2	20030807	WO 2003-US3022	20030131 <--
WO 2003063794	A3	20031204		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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US 20040029902	A1	20040212	US 2003-355543	20030131
US 7557210	B2	20090707		
EP 1471915	A2	20041103	EP 2003-707654	20030131
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JP 2005516046	T	20050602	JP 2003-563490	20030131
CN 1625400	A	20050608	CN 2003-803180	20030131
BR 2003007355	A	20060411	BR 2003-7355	20030131
NZ 534361	A	20080430	NZ 2003-534361	20030131
AU 2003208931	B2	20080904	AU 2003-208931	20030131
RU 2343148	C2	20090110	RU 2004-126431	20030131
ZA 2005000775	A	20080625	ZA 2005-775	20030729
US 20050038243	A1	20050217	US 2004-858343	20040601
US 7060827	B2	20060613		
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US 20050209230	A1	20050922	US 2004-911684	20040803
IN 2004KN01139	A	20060512	IN 2004-KN1139	20040809
NO 2004003632	A	20041026	NO 2004-3632	20040831
US 20060025410	A1	20060202	US 2005-149105	20050608
US 7329672	B2	20080212		
US 20060035916	A1	20060216	US 2005-148746	20050608
US 7329671	B2	20080212		
US 20060058292	A1	20060316	US 2005-149418	20050608
US 7332484	B2	20080219		

US 20060135543	A1	20060622	US 2005-299207		20051208
US 7435814	B2	20081014			
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US 20070293520	A1	20071220	US 2006-539018		20061005
US 7498435	B2	20090303			
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US 20070293523	A1	20071220	US 2006-539049		20061005
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US 7485724	B2	20090203			
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US 7550460	B2	20090623			
US 20090082567	A1	20090326	US 2008-199705		20080827
US 20090171085	A1	20090702	US 2008-268235		20081110
US 20090156622	A1	20090618	US 2008-273357		20081118
AU 2008252053	A1	20090108	AU 2008-252053		20081203
US 20090171086	A1	20090702	US 2009-363537		20090130
PRIORITY APPLN. INFO.:			US 2002-353267P	P	20020201
			US 2002-353333P	P	20020201
			US 2002-399673P	P	20020729
			US 2002-434277P	P	20021217
			AU 2003-208931	A3	20030131
			US 2003-355543	A1	20030131
			WO 2003-US3022	W	20030131
			US 2004-858343	A3	20040601
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OTHER SOURCE(S): MARPAT 139:164801

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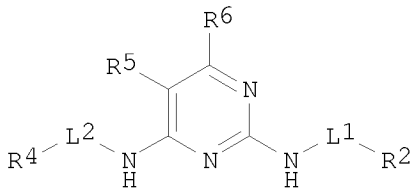

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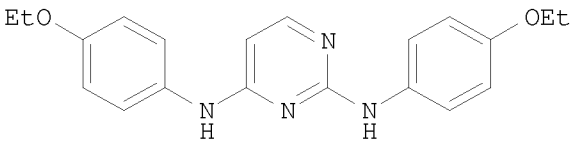


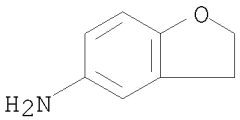
II

AB Title compds. I [wherein L1 and L2 = independently a bond or a linker; R2 = (un)substituted alkyl, (hetero)cycloalkyl, or (hetero)aryl; R4 = H or R2; R5 = R6 or (un)substituted alkyl, alkenyl, or alkynyl; R6 = independently H, an electroneg. group, protected alc. or thiol, haloalkyl(oxy), halo, CN, NC, OCN, SCN, NO, NO2, N3, or (un)substituted amino, sulfamoyl(oxy), acyl, carboxy, carbamoyl, (hetero)aryl(alkyl), etc.; with provisos and exclusions; and salts, hydrates, solvates,

N-oxides, and prodrugs thereof] were prepared as inhibitors of the IgE and/or IgG receptor signaling cascades that lead to the release of chemical mediators. For example, coupling of 2,4-dichloropyrimidine with 4-ethoxyaniline in EtOH provided N2,N4-bis(4-ethoxyphenyl)-2,4-pyrimidinediamine (II). The latter inhibited degranulation of bone marrow derived mast cells challenged with anti-IgE and ionomycin with IC50 values of 4.5 μM and 4.4 μM, resp. Thus, I and their pharmaceutical compns. are useful in the treatment and prevention of diseases characterized by, caused by, or associated with the release of chemical mediators via degranulation of mast, basophil, neutrophil, or eosinophil cells and other processes effected by activation of the IgE and/or IgG receptor signaling cascades. The treatment and prevention of allergic diseases, low grade scarring, diseases associated with tissue destruction, diseases associated with tissue inflammation, inflammation, and scarring are targeted uses (no data).

IT 42933-43-7, 5-Amino-2,3-dihydrobenzofuran
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrimidinediamines as IgE and/or IgG receptor modulators for treatment of allergic diseases, inflammatory conditions, and tissue destruction)

RN 42933-43-7 CAPLUS
CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)



OS.CITING REF COUNT: 33 THERE ARE 33 CAPLUS RECORDS THAT CITE THIS RECORD (34 CITINGS)

L12 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:472358 CAPLUS
DOCUMENT NUMBER: 139:53025
TITLE: Preparation of vanilloid receptor ligands and their use in treatments
INVENTOR(S): Bo, Yunxin Y.; Chakrabarti, Partha P.; Chen, Ning; Doherty, Elizabeth M.; Fotsch, Christopher H.; Han, Nianhe; Kelly, Michael G.; Liu, Qingyian; Norman, Mark Henry; Wang, Xianghong; Zhu, Jiawang; Ognyanov, Vassil; Bo, Yunxin Y.; Chakrabarti, Partha P.; Chen, Ning; Doherty, Elizabeth M.; Fotsch, Christopher H.; Han, Nianhe; Kelly, Michael; Liu, Qingyian; et al.
PATENT ASSIGNEE(S): Amgen Inc., USA; et al.
SOURCE: PCT Int. Appl., 611 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049702	A2	20030619	WO 2002-US39589	20021210 <--

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WO 2003099284         A1    20031204    WO 2003-US16655         20030520 <--
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AU 2003247425         A1    20031212    AU 2003-247425          20030520 <--
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EP 1688408            A2    20060809    EP 2006-8551            20030808
EP 1688408            A3    20070822
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EP 1717220            A2    20061102    EP 2006-8555            20030808
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MX 2004005427	A	20050419	MX 2004-5427		20040604
MX 2004011472	A	20050214	MX 2004-11472		20041118
US 20050227986	A1	20051013	US 2005-100077		20050405
US 7579347	B2	20090825			
US 20050272931	A1	20051208	US 2005-99978		20050405
US 20060030618	A1	20060209	US 2005-100272		20050405
US 20050267163	A1	20051201	US 2005-195302		20050801
US 7524874	B2	20090428			
US 20050272777	A1	20051208	US 2005-195159		20050801
US 7332511	B2	20080219			
US 20050277631	A1	20051215	US 2005-195134		20050801
US 7148221	B2	20061212			
US 20050277646	A1	20051215	US 2005-195303		20050801
US 7396831	B2	20080708			
AU 2007200149	A1	20070201	AU 2007-200149		20070115
AU 2008202517	A1	20080626	AU 2008-202517		20080605
PRIORITY APPLN. INFO.:			US 2001-339161P	P	20011210
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			US 2002-383331P	P	20020522
			US 2002-402422P	P	20020808
			AU 2002-364549	A3	20021210
			EP 2002-799927	A3	20021210
			US 2002-316295	A3	20021210
			WO 2002-US39589	W	20021210
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			WO 2003-US16655	W	20030520
			AU 2003-264047	A3	20030808
			EP 2003-785220	A3	20030808
			US 2003-638009	A3	20030808

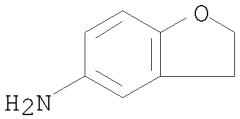
OTHER SOURCE(S): MARPAT 139:53025

AB Claimed are compds. having the general structure R1CR2:CR3C(:X)YR4 or R1R2CHCR3R3C(:X)YR4 (I; variables defined below; e.g. (2E)-3-[4-(tert-butyl)phenyl]-N-phenylprop-2-enamide and (2,3-dihydrobenzo[1,4]dioxin-6-yl)[4-(4-dimethylaminophenyl)pyridin-2-yl]amine) and compns. containing them, for the treatment of acute, inflammatory and neuropathic pain, dental pain, general headache, migraine, cluster headache, mixed-vascular and nonvascular syndromes, tension headache, , general inflammation arthritis, rheumatic diseases, osteoarthritis, inflammatory bowel disorders, inflammatory eye disorders,inflammatory or unstable bladder disorders, psoriasis, skin complaints with inflammatory components, chronic inflammatory conditions, inflammatory pain and associated hyperalgesia and allodynia, neuropathy pain and associated hyperalgesia and allodynia, diabetic neuropathy pain, causalgia, sympathetically maintained pain, deafferentiation syndromes, asthma, epithelial tissue damage or dysfunction, herpes simplex, disturbances of visceral motility at respiratory, genitourinary, gastrointestinal or vascular regions, wounds, burns, allergic skin reactions, pruritis, vitiligo, general gastrointestinal disorders, gastric ulceration, duodenal ulcers, diarrhea, gastric lesions induced by necrotising agents, hair growth, vasomotor or allergic rhinitis, bronchial disorders or bladder disorders. I are thought to be vanilloid receptor ligands, but no test data are provided. Although the methods of preparation are not claimed, .apprx.130 example prepns. and characterization data for .apprx.400 I are included. For I: R1 is Ph, naphthyl or (un)saturated 5- or 6-membered ring heterocycle; R2 is H, hydroxy, halo, C1-6alkyl, or (un)saturated 5- or 6-membered ring heterocycle; or R1 and R2 together are

o-benzenediyl-L1-o-benzenediyl. R3 is H or C1-4alkyl; or R1 and R3 together are o-benzenediyl-L2- or -Z-L2- (Z = pyridine-2,3-diyl). R4 is Ph, (un)saturated 5- or 6-membered ring heterocycle, 10-membered bicyclic ring comprising fused 6-membered rings, containing 0-4 N atoms with the remainder being C atoms, with at least one of the 6-membered rings being aromatic; X is O, S or NRa; or X and R2 together are :N-CH:CH-, :C-O-, :C-S-, or :C-NRa-; Y is NH or O; addnl. details including provisos are given in the claims.

IT 42933-43-7P, (2,3-Dihydrobenzo[b]furan-5-yl)amine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of vanilloid receptor ligands and their use in medical treatments)

RN 42933-43-7 CAPLUS
CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)



OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (66 CITINGS)

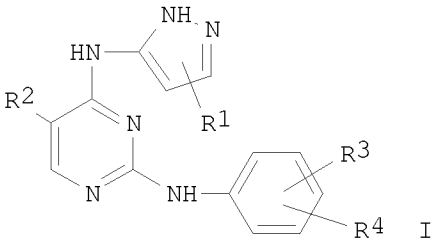
L12 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:261682 CAPLUS
DOCUMENT NUMBER: 138:271698
TITLE: Preparation of 2-phenylamino-4-(5-pyrazolylamino)pyrimidines as kinase inhibitors, in particular, SRC kinase inhibitors for treating cancers
INVENTOR(S): Dixon, Julie; Scott, William J.; Dumas, Jacques; Brennan, Catherine; Hatoum-Mokdad, Holia
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003026665	A1	20030403	WO 2002-US30980	20020926 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002337760	A1	20030407	AU 2002-337760	20020926 <--

PRIORITY APPLN. INFO.: US 2001-325110P P 20010926
WO 2002-US30980 W 20020926

OTHER SOURCE(S): MARPAT 138:271698

GI



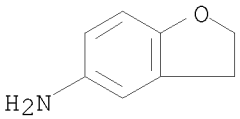
I

AB This application discloses and claims 5-substituted-2,4-diaminopyrimidines, (shown as I; e.g. 3-[3-[[4-[(3-tert-butyl-1H-pyrazol-5-yl)amino]-2-pyrimidinyl]amino]phenoxy]-1,2-propanediol; R1 = C1-6 alkyl, C3-6 cycloalkyl, adamantyl, Ph, or a 5-membered heteroarom. containing a single heteroatom = N, O, and S; R2 = H, F, Cl, or C1-4 alkyl; R3 = H, halogen, O(C1-4 alkyl), or C1-6alkyl; R4 = halogen, NO2, C1-6 alkyl, NR5R6, O(CH2)1-4CO2R7, O(CH2)1-4C(O)NR5R6, N(R5)C(O)CH2OR8, OC(O)R9, C(O)NR5R6, CO2R7, CN, or O(C1-4alkyl) optionally substituted by OH or phenoxy; addnl. definitions are in the claims), pharmaceutical compns. containing them, a method of making them, and methods of using them for treatment of cancers. Eleven examples of I were found to inhibit SRC kinase with IC50 values less than 500 nM. Many general methods of preparation of I and several specific examples are included; characterization data are included for 35 examples of I. For example, 3-[3-[[4-[(3-tert-butyl-1H-pyrazol-5-yl)amino]-2-pyrimidinyl]amino]phenoxy]-1,2-propanediol was prepared from N-(3-tert-butyl-1H-pyrazol-5-yl)-2-chloro-4-pyrimidinamine and 3-(3-aminophenoxy)-1,2-propanediol in 21% yield; prepns. of the reactants are also included.

IT 42933-43-7, 5-Amino-2,3-dihydrobenzofuran
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of phenylamino pyrazolylamino pyrimidines as SRC kinase inhibitors for treating cancers)

RN 42933-43-7 CAPLUS

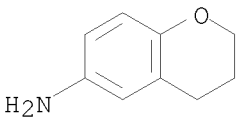
CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:827030 CAPLUS
DOCUMENT NUMBER: 136:177463
TITLE: 6-(4-Benzylpiperazin-1-yl)benzodioxanes as selective ligands at cloned primate dopamine D4 receptors
AUTHOR(S): Hodgetts, Kevin J.; Kieltyka, Andrzej; Brodbeck, Robbin; Tran, Jennifer N.; Wasley, Jan W. F.; Thurkauf, Andrew
CORPORATE SOURCE: Neurogen Corporation, Branford, CT, 06405, USA
SOURCE: Bioorganic & Medicinal Chemistry (2001), 9(12), 3207-3213
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:177463
AB A series of novel 6-(4-benzylpiperazin-1-yl)benzodioxanes were prepared and screened at selected dopamine receptor subtypes. 6-(4-[4-Chlorobenzyl]piperazin-1-yl)benzodioxane had high affinity and selectivity for the D4 dopamine receptor subtype and was identified as a D4 antagonist via its attenuation of dopamine-induced GTPγ35S binding at the D4 receptor.
IT 50386-54-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(benzylpiperazinyl benzodioxanes as selective ligands at cloned primate dopamine D4 receptors)
RN 50386-54-4 CAPLUS
CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

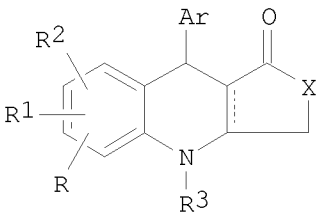
L12 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:396489 CAPLUS
DOCUMENT NUMBER: 135:5535
TITLE: Preparation and use of derivatives of dihydrofuro[3,4-b]quinolin-1-ones as anti-tumor agents
INVENTOR(S): Husson, Henri-Philippe; Giorgi-Renault, Sylviane; Tratrat, Christophe; Atassi, Ghanem; Pierre, Alain; Renard, Pierre; Pfeiffer, Bruno
PATENT ASSIGNEE(S): Adir et Compagnie, Fr.; Les Laboratoires Servier
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1103554	A1	20010530	EP 2000-403255	20001122 <--
EP 1103554	B1	20030312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
FR 2801310	A1	20010525	FR 1999-14771	19991124 <--
FR 2801310	B1	20040416		
MX 2000011240	A	20020523	MX 2000-11240	20001115 <--
JP 2001151756	A	20010605	JP 2000-355438	20001122 <--
JP 3566649	B2	20040915		
AT 234305	T	20030315	AT 2000-403255	20001122 <--
US 6548515	B1	20030415	US 2000-718917	20001122 <--
ES 2194692	T3	20031201	ES 2000-403255	20001122 <--
NO 2000005922	A	20010525	NO 2000-5922	20001123 <--
HU 2000004704	A2	20011128	HU 2000-4704	20001123 <--
CA 2326710	A1	20010524	CA 2000-2326710	20001124 <--
CA 2326710	C	20060627		
ZA 2000006912	A	20010605	ZA 2000-6912	20001124 <--
CN 1302804	A	20010711	CN 2000-128318	20001124 <--
CN 1157394	C	20040714		
BR 2000005557	A	20010717	BR 2000-5557	20001124 <--
AU 781300	B2	20050512	AU 2000-71825	20001124
HK 1036983	A1	20041231	HK 2001-107838	20011108

PRIORITY APPLN. INFO.: FR 1999-14771 A 19991124

OTHER SOURCE(S): MARPAT 135:5535

GI



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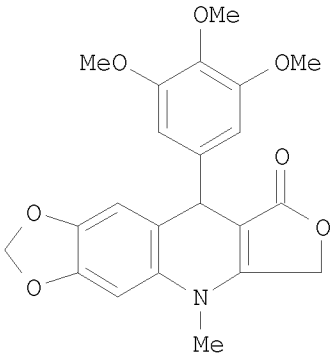


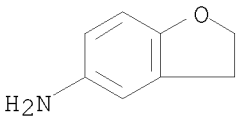
II

AB Compds. I, their preparation and use as anti-tumor agents are claimed [wherein; R = H, OH or alkoxy; R1, R2 = H, halo, (halo)alkyl, OH, alkoxy, amino, etc.; R3 = H, (hetero)aryl, cycloalkyl, hydroxy, alkoxy, amino, etc.; X = O, S, CH2 or CH2CH2; Ar = (hetero)aryl or arylalkyl]. Over 50 synthetic examples are provided. The process claimed is illustrated by the synthesis of II. N-Methyl-3,4-methylenedioxyaniline was reacted with 3-(3,4,5-trimethoxybenzylidene)-2,4-(3H,5H)-furandione in ethanol at reflux for 30 min to give II. Selected compds. were evaluated for

cytotoxicity in L1210, A549 and HT29 cells; IC50 for II was 53, 102 and 104 nM resp. Compds. I were evaluated for in vivo antitumor activity against i.p. implanted murine P388 leukemia cells in BDF1 mice. At doses of 50 mg/kg i.p., II prolonged survival time to 200% of control. A sample formulation is provided.

IT 42933-43-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; synthesis and use of substituted dihydrofuro[3,4-b]quinolin-1-ones as anti-tumor agents)

RN 42933-43-7 CAPLUS
CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)

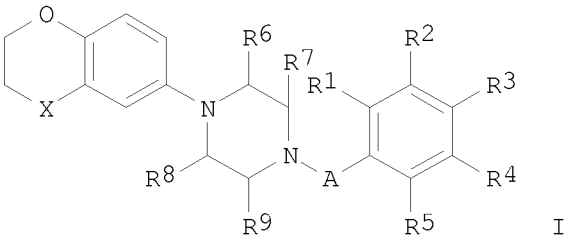


OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:58596 CAPLUS
DOCUMENT NUMBER: 134:115968
TITLE: 6-(4-Arylalkylpiperazin-1-yl)benzodioxane and 6-(4-arylalkylpiperazin-1-yl)chromane derivatives useful as subtype-specific dopamine receptor ligands
INVENTOR(S): Tran, Jennifer N.; Thurkauf, Andrew
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 6177566	B1	20010123	US 1999-343309	19990630	<--
US 20010005753	A1	20010628	US 2001-761048	20010116	<--
US 6333329	B2	20011225			
US 20020099056	A1	20020725	US 2001-27150	20011220	<--
US 6486164	B2	20021126			

PRIORITY APPLN. INFO.: US 1998-91250P P 19980630
US 1999-343309 A1 19990630
US 2001-761048 A1 20010116
OTHER SOURCE(S): MARPAT 134:115968
GI



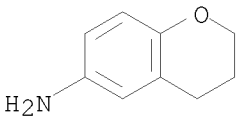
I

AB The title compds. [I; A = C1-4 alkylene optionally substituted with C1-2 alkyl; R1-R5 = H, halo, C1-6 alkyl, C1-6 alkoxy, C1-4 alkylthio, OH, amino, mono- or dialkylamino, cyano, nitro, CF3, or CF3O; R6-R9 = H, C1-6 alkyl; X = O, bond, CH2, CH2CH2, CH2O] and their pharmaceutically acceptable acid addition salts are disclosed. The compds. are useful for the treatment and/or prevention of neuropsychol. disorders including, but not limited to, schizophrenia, mania, dementia, depression, anxiety, compulsive behavior, substance abuse, Parkinson-like motor disorders, and motion disorders related to the use of neuroleptic agents. As selective ligands for dopamine D4 receptors, the compds. are expected to be relatively free of neurol. side effects. Approx. 10 salts were prepared and their free bases claimed. Thus, reaction of 1-(1,4-benzodioxan-6-yl)piperazine (preparation given) with 4-fluorobenzyl chloride in the presence of K2CO3 in MeCN afforded 34% I [X = O; A = CH2; R1 = R2 = R4 = R5 = H; R3 = F; R6-R9 = H]. This compound showed a Ki of 11 nM for D4 receptor binding, vs. Ki values of 3662 nM and >4000 nM for D3 and D2 binding, resp.

IT 50386-54-4P, 6-Aminochroman
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (arylalkylpiperazinyl)benzodioxane and (arylalkylpiperazinyl)chroman derivs. as subtype-specific dopamine receptor ligands)

RN 50386-54-4 CAPLUS

CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:15203 CAPLUS

DOCUMENT NUMBER: 132:78570

TITLE: Preparation of 6-(4-arylalkylpiperazin-1-yl)benzodioxane and 6-(4-arylalkylpiperazin-1-yl)chromane derivatives as dopamine receptor subtype specific ligands

INVENTOR(S): Tran, Jennifer N.; Thurkauf, Andrew

PATENT ASSIGNEE(S): Neurogen Corporation, USA

SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000000489	A2	20000106	WO 1999-US14426	19990625 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2336089	A1	20000106	CA 1999-2336089	19990625 <--
AU 9947204	A	20000117	AU 1999-47204	19990625 <--
EP 1091949	A2	20010418	EP 1999-930727	19990625 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002519350	T	20020702	JP 2000-557250	19990625 <--

PRIORITY APPLN. INFO.: US 1998-109242 A 19980630
WO 1999-US14426 W 19990625

OTHER SOURCE(S): MARPAT 132:78570

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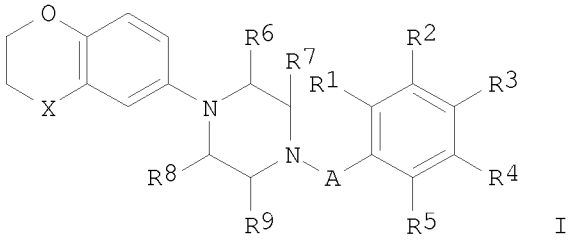
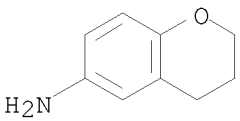


I

AB The title compds. [I; A = alkylene optionally substituted with alkyl; R1-R5 = H, halo, alkyl, etc.; R6-R9 = H, alkyl; X = O, a bond, alkylene, methyleneoxy] and their pharmaceutically acceptable acid addition salts which are useful for the treatment and/or prevention of neuropsychol. disorders including, but not limited to, schizophrenia, mania, dementia, depression, anxiety, compulsive behavior, substance abuse, Parkinson-like motor disorders and motion disorders related to the use of neuroleptic agents, were prepared Thus, reacting 1-(1,4-benzodioxan-6-yl)piperazine (preparation given) with 4-fluorobenzyl chloride in the presence of K2CO3 in MeCN afforded 34% I [X = O; A = CH2; R1 = R2 = R4 = R5 = H; R3 = F; R6-R9 = H] which showed Ki of 11 nM against D4 receptor binding vs. Ki of 3662 nM and >4000 nM against D3 and D2 binding, resp.

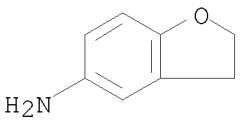
IT 50386-54-4P, 6-Aminochroman
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 6-(4-arylalkylpiperazin-1-yl)benzodioxane and 6-(4-arylalkylpiperazin-1-yl)chromane derivs. as dopamine receptor subtype specific ligands)
RN 50386-54-4 CAPLUS
CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:427209 CAPLUS
DOCUMENT NUMBER: 125:195464
ORIGINAL REFERENCE NO.: 125:36607a,36610a
TITLE: A convenient modification of the Gassman oxindole synthesis
AUTHOR(S): Wright, Stephen W.; McClure, Lester D.; Hageman, David L.
CORPORATE SOURCE: Pfizer Central Research, Groton, CT, 06340, USA
SOURCE: Tetrahedron Letters (1996), 37(27), 4631-4634
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A modification of the Gassman oxindole synthesis is described that proceeds from anilines XC6H4NH2 (X = H, 4-MeO, 2-Me, 3-MeS, etc.) and Et (methylsulfinyl)acetate, using oxalyl chloride to activate the sulfoxide to facilitate the formation of the key N - S bonded intermediate. This procedure is particularly convenient for reactions carried out on smaller scales and for anilines that are susceptible to electrophilic halogenation.
IT 42933-43-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(Gassman oxindole synthesis from anilines and Et (methylsulfinyl)acetate)
RN 42933-43-7 CAPLUS
CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

L12 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:777739 CAPLUS
DOCUMENT NUMBER: 123:198608
ORIGINAL REFERENCE NO.: 123:35449a,35452a
TITLE: Preparation of N-aryl-2-cyano-3-hydroxy propenamide-derivative antiinflammatory agents
INVENTOR(S): Evans, Phillip L.; Kuo, Elizabeth Anne
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 652214	A1	19950510	EP 1994-402478	19941103 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07188145	A	19950725	JP 1994-290323	19941101 <--
CA 2135044	A1	19950505	CA 1994-2135044	19941103 <--

PRIORITY APPLN. INFO.: GB 1993-22781 A 19931104
OTHER SOURCE(S): MARPAT 123:198608
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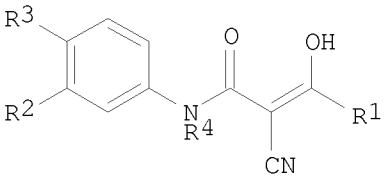
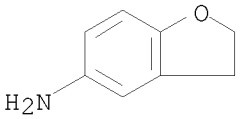


I

AB The title compds. [I; R1 = alkyl, cycloalkyl, alkenyl, alkynyl; CR2R3 = (un)substituted carbocyclic or heterocyclic ring; R4 = alkyl], useful as antiinflammatory agents, antidiabetic agents (no data), etc. (no data), are prepared and a I-containing formulation presented. Thus, N-[5-(2,3-dihydrobenzofuryl)]-2-cyano-3-cyclopropyl-3-hydroxy-2-propenamide, prepared in 4 steps from 2,3-dihydrobenzofuran, demonstrated 13% inhibition of carrageenan-induced rat-paw edema at 50 mg/kg (p.o.).

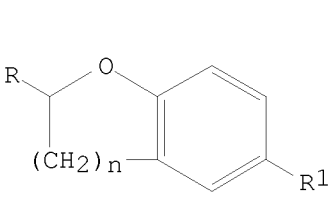
IT 42933-43-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-aryl-2-cyano-3-hydroxy propenamide-derivative antiinflammatory agents)

RN 42933-43-7 CAPLUS
CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L12 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1988:406388 CAPLUS
DOCUMENT NUMBER: 109:6388
ORIGINAL REFERENCE NO.: 109:1205a,1208a
TITLE: Synthesis of amino-substituted 2-methylcoumarans, chromans, benzoxepanes and their N-(alkylamino)acyl derivatives
AUTHOR(S): Dauksas, V.; Petrauskas, O.; Purvaneckas, G.
CORPORATE SOURCE: Vil'nyus. Univ., Vilnius, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1987), (3), 320-4
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 109:6388
GI



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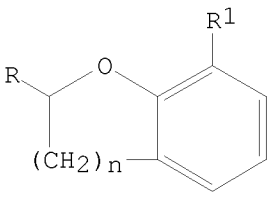
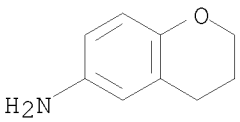


II

AB Nitration of 2-methylcoumarans, chromans, and benzoxepanes I and II (R = Me, R1 = H, n = 1; R = R1 = H, n = 2,3) gave mixts. of nitro derivs. I and II (R1 = NO2) which were reduced by Fe-Cu in EtOH to give the corresponding amines I and II (R1 = NH2). Acylation of the amines by Me(CH2)3CHBrCOCl gave I and II [R1 = NHCOCHBr(CH2)3Me] which could be aminated by MeNH2 or Et2NH to give I and II [R1 = NHCOCH(NHMe)(CH2)3Me, NHCOCH(NEt2)(CH2)3Me].
IT 50386-54-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of)
RN 50386-54-4 CAPLUS
CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)

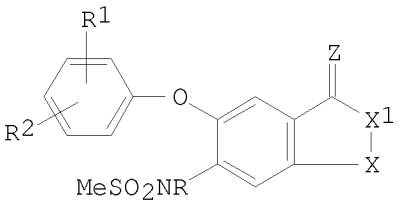


L12 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

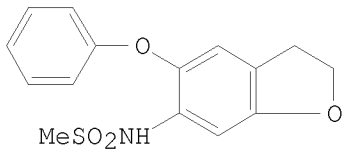
ACCESSION NUMBER: 1983:71912 CAPLUS
DOCUMENT NUMBER: 98:71912
ORIGINAL REFERENCE NO.: 98:11003a,11006a
TITLE: Benzofuran derivatives and their use
INVENTOR(S): Schroeder, Eberhard; Lehmann, Manfred; Rufer, Clemens; Boettcher, Irmgard
PATENT ASSIGNEE(S): Schering A.-G. , Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 59884	A1	19820915	EP 1982-101418	19820225 <--
EP 59884	B1	19850522		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
DE 3110009	A1	19820930	DE 1981-3110009	19810311 <--
AT 13429	T	19850615	AT 1982-101418	19820225 <--
JP 57203079	A	19821213	JP 1982-37308	19820311 <--
JP 03008350	B	19910205		
US 4411910	A	19831025	US 1982-357344	19820311 <--

PRIORITY APPLN. INFO.: DE 1981-3110009 A 19810311
EP 1982-101418 A 19820225
OTHER SOURCE(S): CASREACT 98:71912; MARPAT 98:71912
GI



I

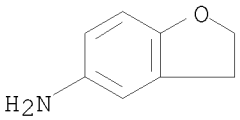


II

AB Benzofurans I (R = H, Ac; R1, R2 = H, F, Cl; X = O, CH2; X1 = CH2, O; Z = O, H2), useful as inflammation inhibitors, analgesics, antipyretics, diuretics, thrombocyte aggregation inhibitors, anti-ulcer agents, tumor inhibitors, and in treatment of dysmenorrhea and migraine (no data), were prepared Thus, 2,3-dihydrobenzo[b]furan-5-amine was converted in 7 steps by known methods into methanesulfonamide II.

IT 42933-43-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-acetylation of)

RN 42933-43-7 CAPLUS
CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)

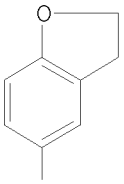


OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L12 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1983:16571 CAPLUS
DOCUMENT NUMBER: 98:16571
ORIGINAL REFERENCE NO.: 98:2683a,2686a
TITLE: Acetophenetidine analogs
INVENTOR(S): Blade Font, Arturo; De Mass Rocabayera, Teodoro; Palop Palop, Daniel; Escartin Tomas, Pilar
PATENT ASSIGNEE(S): Laboratorios Frumtost-Prem S. A., Spain
SOURCE: Span., 16 pp.
CODEN: SPXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Spanish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

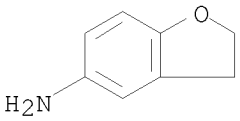
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 504326	A1	19820601	ES 1981-504326	19810728 <--
PRIORITY APPLN. INFO.:			ES 1981-504326	19810728

GI



NHR I

AB Acylaminobenzofurans I (R = acyl) were prepared Thus 2,5-HO(AcNH)C6H3CH2NEt2.MeI was treated with 450% excess CH2N2 to give 39% I (R = Ac) which at 25 mg/kg gave 30.66% inhibition of HOAc-induced writhing in mice.
IT 42933-43-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of)
RN 42933-43-7 CAPLUS
CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)



L12 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1982:16951 CAPLUS
DOCUMENT NUMBER: 96:16951
ORIGINAL REFERENCE NO.: 96:2827a,2830a
TITLE: Reagents for detection of urobilinogen in body fluids
PATENT ASSIGNEE(S): Eiken Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

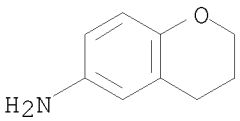
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56118670	A	19810917	JP 1980-21692	19800225 <--
JP 63048311	B	19880928		

PRIORITY APPLN. INFO.: JP 1980-21692 19800225

AB Compns. containing phenyldiazonium salts (2,3-dihydroxybenzofuran-5-diazonium tetrafluoroborate, 2,3-dihydroxybenzothiophene-5-diazonium tetrafluoroborate, 1,4-benzodioxane-6-diazonium tetrafluoroborate, 2,3-dihydroxybenzofuran-7-diazonium tetrafluoroborate, 1-acetyl-2,3-dihydroindole-5-diazonium sulfate) and organic acids and(or) inorg. acids are reagents for the detection of urobilinogens in body fluids. As an example, filter papers (Whatman 3MM) were immersed in a solution containing 2,3-dihydroxybenzofuran-5-diazonium tetrafluoroborate, oxalic acid, Na laurylsulfate, MeOH and distilled H2O, and dried at 40°. Development of a pink color is indicative of pos. results. Detection limits were .apprx.0.4 mg/dL.

IT 50386-54-4
RL: ANST (Analytical study)
(diazotization and reaction of, with sodium dodecylbenzenesulfonate)

RN 50386-54-4 CAPLUS
CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)

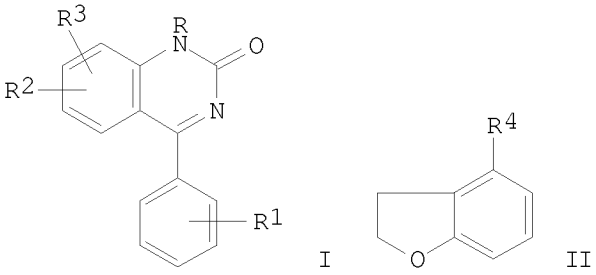


L12 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1977:5484 CAPLUS
DOCUMENT NUMBER: 86:5484
ORIGINAL REFERENCE NO.: 86:951a,954a
TITLE: Tricyclic furoquinazolinones

INVENTOR(S): Cooke, George A.; Houlihan, William J.
PATENT ASSIGNEE(S): Sandoz-Wander, Inc., USA
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3963717	A	19760615	US 1975-556574	19750310 <--

PRIORITY APPLN. INFO.: US 1975-556574 19750310
GI



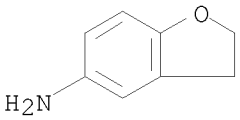
I

II

AB Antiinflammatory and analgesic (no data) furoquinazolinones I (R = CHMe2, cyclopropylmethyl, cyclopentylmethyl, CMe3, CH2CMe:CH2, Et; R1 = H, 4-F, 4-CF3, 3-OMe; R2R3 = 7,8-OCH2CH2, 6,7-OCH2CH2, 5,6-CH2CH2O, 6,7-CH2CH2O, 5,6-OCH2CH2, 7,8-CH2CH2O) (38 compds.) were prepared Thus the benzofuranamine II (R4 = NH2) was treated with Me2CHI, II (R4 = NHCHMe2) treated with NaNCO, II [R4 = N(CHMe2)CONH2] condensed with PhCHO and oxidized with KMnO4 to give I (R = CHMe2, R1 = H, R2R3 = 7,8-OCH2CH2).

IT 42933-43-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with isopropyl iodide)

RN 42933-43-7 CAPLUS
CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)



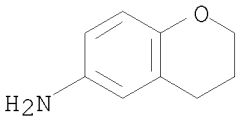
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L12 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:526238 CAPLUS

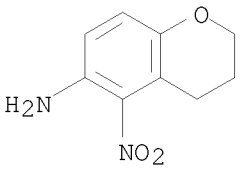
DOCUMENT NUMBER: 79:126238
ORIGINAL REFERENCE NO.: 79:20487a,20490a
TITLE: Nitration of substituted chromans
AUTHOR(S): Brancaccio, G.; Lettieri, G.; Viterbo, R.
CORPORATE SOURCE: Res. Lab., Richardson-Merrell S.p.A., Naples, Italy
SOURCE: Journal of Heterocyclic Chemistry (1973), 10(4), 623-9
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The nitration of Cl-, AcNH-, Me-, and NO2-substituted chromans was studied and the structure of the nitro compds. confirmed by chemical and spectral data.

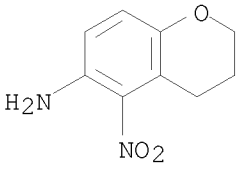
IT 50386-54-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(Sandmeyer chlorination of)
RN 50386-54-4 CAPLUS
CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)



IT 50386-66-8P 50603-85-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 50386-66-8 CAPLUS
CN 2H-1-Benzopyran-6-amine, 3,4-dihydro-5-nitro- (CA INDEX NAME)

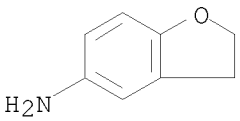


RN 50603-85-5 CAPLUS
CN 2H-1-Benzopyran-6-amine, 3,4-dihydro-5-nitro-, hydrochloride (1:1) (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

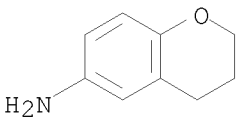
L12 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:418859 CAPLUS
DOCUMENT NUMBER: 79:18859
ORIGINAL REFERENCE NO.: 79:3035a,3038a
TITLE: Natural and synthetic materials with insect hormone activity. XVI. Synthesis of N-geranylaniline-containing oxygen heterocyclics
AUTHOR(S): Kahovcova, Jitka; Arnold, Zdenek; Sorm, Frantisek
CORPORATE SOURCE: Cesk. Akad. Ved, Prague, Czech.
SOURCE: Collection of Czechoslovak Chemical Communications (1973), 38(4), 1165-7
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The reaction of 4-amino-1,2-methylenedioxybenzene with geranyl bromide in DMF in the presence of anhydrous K2CO3 at 70° gave 4-(3,7-dimethyl-2,6-octadienylamino)-1,2-methylenedioxybenzene (I) and 4-[bis(3,7-dimethyl-2,6-octadienyl)amino]-1,2-methylenedioxybenzene. Similar reactions were performed with 5-amino-2,3-dihydrobenzofuran, 5-aminobenzofuran-2-carboxylic acid, 5-amino-benzo-1,3-dioxane, and 5-aminobenzo-1,4-dioxane. From I, 4-(6,7-epoxy-3,7-dimethyl-2-octenylamino)-1,2-methylenedioxybenzene and 4-(3,7-dimethyloctylamino)-1,2-methylenedioxybenzene were also prepared
IT 42933-43-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with geranylbromide)
RN 42933-43-7 CAPLUS
CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)



L12 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1966:4088 CAPLUS
DOCUMENT NUMBER: 64:4088
ORIGINAL REFERENCE NO.: 64:707e-h,708a
TITLE: Amines
PATENT ASSIGNEE(S): F. Hoffmann-La Roche & Co., A.-G.
SOURCE: 9 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6414649		19650621	NL 1964-14649	19641216 <--
BE 657234			BE	

FR 1417774 FR
GB 1043486 GB
PRIORITY APPLN. INFO.: CH 19631220
GI For diagram(s), see printed CA Issue.
AB Amines with the general formula I, where n is 0-3, R1, R2, and R3 are H or Me, R4 is an alkyl group, and R5 is H or an alkyl group, can be prepared from an aminophenol with the general formula II, where R4' is H or an alkyl group, and R5' is H, acyl, or an alkyl group, and alcohols of the general formulas CH2:CHC(CH3)(OH)[CH2CH2CH2CH(CH3)]CH3 or HOCH2CH:C(CH3)nCH2CH2CH2CH(CH3)nCH3 or their esters. Thus, to a mixture of 11. freshly distilled formic acid (99%) and 120 g. 2,3,5-trimethyl-4-formylaminophenol, 200 g. isophytol was added. With addition of N2 and refluxing, mixture was stirred for 22 hrs. at 135°. After cooling mixture was poured on 2 kg. ice and a brown oil formed. Yield was 130 g. α-tocopheramine, b0.01 200-3°, absorption maximum at 300 mμ (E11 85), which was acylated and then reduced to give N-ethyl-γ-tocopheramine, a light yellow oil, b0.01 211-14°, uv absorption maximum at 299 mμ (E11 52), n24.5D 1.5086. Similarly obtained, starting with 2,3-dimethyl-4-formylaminophenol, was N-ethyl-γ-tocopheramine, b0.05 195-7°, uv absorption maximum at 238 and 305 mμ (E11 195 and 69), n22.5D 1.5083. In 9 g. dry formic acid, 10 g. α-tocopheramine and 6 g. of a 40% formaldehyde solution were heated for 16 hrs. to boiling. Yield was N,N-dimethyl-γ-tocopheramine, b0.02, 200-5°, n23D 1.5015. Similarly obtained, starting with δ-tocopheramine, was N,N-dimethyl-δ-tocopheramine, b0.007 183-8°, n19D 1.5080, absorption maximum at 244 and 304 mμ (E11 268 and 58). In 1 l. dry formic acid 174 g. N-formyl-2,3-dimethyl-4-aminophenol was dissolved under N2, 220 g. isophytol was added, and the mixture refluxed for 22 hrs. after which it was poured on 2 kg. ice. Yield was N-formyl-γtocopheramine, b0.01 233°, n24.5D 1.5158, which was reduced to yield N-methyl-γ-tocopheramine, a light yellow oil, b. 190-5°, n22D 1.5083, absorption maximum at 306 mμ (E11 74). Similarly obtained, starting with N-formyl-δ-tocopheramine, was N-methyl-δ-tocopheramine, b0.005 189-90°, n22.5D 1.5106, uv absorption maximum at 242 and 309 mμ (E11 225 and 66). Also obtained starting with N-formyl-β-tocopheramine, was N-methyl-β-tocopheramine, b0.03 207-10°, n21D 1.5088, absorption maximum at 234 and 300 mμ (E11 182 and 77). The compds. are useful as anti-oxidants.
IT 50386-54-4, 6-Chromanamine
(derivs.)
RN 50386-54-4 CAPLUS
CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)



L12 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1961:18014 CAPLUS
DOCUMENT NUMBER: 55:18014

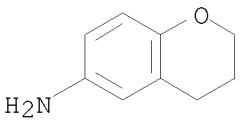
ORIGINAL REFERENCE NO.: 55:3618h-i,3619a
TITLE: Aminochroman derivatives
INVENTOR(S): Hach, V.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CS 91157		19590715	CS	<--

AB Chroman (20 g.) treated with 100 ml. 60% HNO_3 at 15-25° and the mixture (after 10 min. at room temperature) diluted with 100 g. ice and 400 ml. H_2O gave 9.5 g. 6-nitrochroman (I), m. 102-3° (EtOH). I (9 g.) was hydrogenated in 100 ml. 96% EtOH over 1 g. Raney Ni at room temperature and normal pressure. Filtration and evaporation gave a quant. yield of 6-aminochroman (II), m. 74° (petr. ether). II (12 g.) in 50 ml. AcOH was cooled to 10° and treated with 12 g. $ClCH_2COCl$. The mixture, diluted with 50 g. AcONa in 150 ml. H_2O and filtered, gave 15 g. 6-chloroacetamidochroman (III), m. 125°. Reaction of III with Et_2NH gave 90-95% 6-diethylaminoacetamidochroman (IV); HCl salt m. 163°; ethobromide m. 188°. Similarly, III and piperidine gave 6-piperidinoacetamidochroman (V); HCl salt m. 225°. Salts of IV and V were local anesthetic and hypotensive agents.

IT 50386-54-4P, 6-Chromanamine
RL: PREP (Preparation)
(preparation of)

RN 50386-54-4 CAPLUS
CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)



L12 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1960:11424 CAPLUS
DOCUMENT NUMBER: 54:11424
ORIGINAL REFERENCE NO.: 54:2322f-i,2323a-b
TITLE: Local anesthetics. XI. Simple chroman derivatives
AUTHOR(S): Hach, V.
CORPORATE SOURCE: Leciva, Dolni Mecholupy, Prague
SOURCE: Collection of Czechoslovak Chemical Communications (1959), 24, 3136-40
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: German

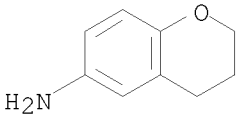
AB cf. C.A. 52, 4652e. 6-(Diethylaminoacetylamino)chroman (I), 6-(piperidinoacetylamino)chroman (II), and 6-(β-piperidinopropionyl)chroman (III) were prepared as cyclic analogs of p-alkoxy-substituted dialkylaminoacylanilides (IV) and of fallicain (V), resp., and tested in the form of the HCl salts as surface and

infiltration anesthetics; their activity, however, was lower than that of IV and V. Introducing 3 hrs. at 0° HBr (prepared from 300 g. Br in H) into 20 g. o-CH2:CHCH2C6H4OAc, 100 ml. CCl4 (dried over P2O5), and 2 g. Bz2O2, keeping the mixture overnight, evaporating the solvent, adding 150 ml. 10% NaOH, extracting the mixture with Et2O, evaporating the exts., adding 10 g. NaOH, 50 ml. H2O, and 100 ml. EtOH to the oily residue, boiling the mixture 2.5 hrs., diluting with H2O, extracting with Et2O, evaporating, and distilling gave chroman (VI), b24-27 100-105°, n20D 1.5480. Adding dropwise and with vigorous agitation in 12 min. at 15-25° 20 g. VI to 100 ml. 60% HNO3 gave a blue-green mixture which was kept 10 min. at 20° and then poured into 100 g. ice and 400 ml. H2O; an oily precipitate separated which on addition of 10-15 ml. EtOH gave 9.5 g. yellow powder of 6-nitrochroman (VII), m. 104° (EtOH). Hydrogenating 1 hr. 9 g. VII, 100 ml. 96% EtOH, and 1 g. Raney Ni at 20° and atmospheric pressure, filtering off the catalyst, and evaporating gave 6-aminochroman (VIII), m. 74° (petr. ether); picrate m. 203° (EtOH); N-Ac derivative (IX) m. 118° (EtOH). Adding in one portion at 10° 12 g. ClCH2COCl to 12 g. VIII in 50 ml. AcOH and pouring the mixture after 1 min. into 50 g. NaOAc in 150 ml. H2O gave 15 g. 6-(chloroacetylamino)chroman (X), m. 125° (EtOH). Treating as usual (C.A. 49, 979e) Et2NH in C6H6 with X gave 90-95% I, b0.3 180-5°, m. 63° (petr. ether); HCl salt (prepared in Et2O solution) m. 163° (EtOH); picrate m. 201° (EtOH); ethobromide (prepared in acetone solution) m. 188° (EtOH-Et2O). Similarly was prepared II, b0.5 190-5°; HCl salt m. 225° (EtOH); picrate m. 217° (EtOH). 6-Acetylchroman (XI) was prepared according to Chatelus (C.A. 44, 1975c), m. 43° (petr. ether); oxime (XII) m. 88° (EtOH); thiosemicarbazone m. 219° (EtOH). Heating exactly 7.5 min. at 100-10° 2.5 g. XII, 20 ml. 85% H3PO4, and 35 g. P2O5, pouring the mixture onto ice, extracting with Et2O, and evaporating the exts. gave 1.6 g. IX.

Heating 8 hrs. on a steam-bath 8.8 g. XI, 11.1 g. piperidine HCl salt, 8 g. (HCHO)x, and 150 ml. absolute EtOH, keeping the mixture 48 hrs. at 5°, filtering off the precipitate, and washing with 25 ml. EtOH gave 10.3 g. III HCl salt, m. 202° (EtOH).

IT 50386-54-4P, 6-Chromanamine 101093-09-8P, 6-Chromanamine, picrate
RL: PREP (Preparation)
(preparation of)

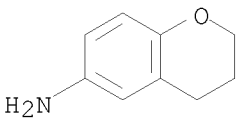
RN 50386-54-4 CAPLUS
CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)



RN 101093-09-8 CAPLUS
CN 2H-1-Benzopyran-6-amine, 3,4-dihydro-, compd. with 2,4,6-trinitrophenol (1:1) (CA INDEX NAME)

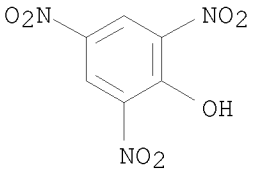
CM 1

CRN 50386-54-4
CMF C9 H11 N O



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L12 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1923:8151 CAPLUS
DOCUMENT NUMBER: 17:8151
ORIGINAL REFERENCE NO.: 17:1447f-i,1448a-c
TITLE: Rings through the m- and p-positions of benzene. A study of certain ethers of resorcinol and m-aminophenol
AUTHOR(S): Wilson, W. C.; Adams, Roger
SOURCE: Journal of the American Chemical Society (1923), 45, 528-40
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Attempts to close m- and p-rings, starting from various types of phenol ethers, were unsuccessful. Resorcinol bis-β-bromoethyl ether, from 6H4(ONa)2 and (CH2Br)2 in alc., m. 94.5-5.0°, b9 166-7°. Bis-γ-bromopropyl ether, from 6H4(OH)2, CH2(CH2Br)2 and K2CO3 in Me2CO-H2O, m. 67°, b6 204-6°; with 6H4(ONa)2 there are formed, in addition, 3 other products: the γ-bromopropyl allyl ether, 6H4(OCH2CH:CH2)OCH2CH2CH2Br, m. 88-9°, γ-propyloxyphenyl(allyloxyphenyl)trimethyleneglycol, m. 119-20°, and resorcinol diallyl ether, b12 156-8°, d2020 1.1645, nD20 1.5672. Bis-γ-iodopropyl ether, from the Br compound in aqueous Me2CO with NaI, m. 88-9°, is partly converted by Na in Et2O into the dipropyl ether, also obtained from 6H4(OH)2, PrBr and K2CO3 in

Me2CO, b12 127-8°, d2121 1.035, nD33 1.5138.
Bis-γ-amylaminopropyl ether, from 6H4(OCH2CH2CH2I)2 and AmNH2 heated alone or in PbMe, b10 249-52°; dihydrochloride, m. 287°.
Bis-γ-cyanopropyl ether, from the I compound and NaCN in aqueous alc., b7 236-7°, m. 31-2°, converted by Na in alc. into the bis-δ-aminobutyl ether, b7 208-9° d2020 1,0589, nD26 1.5315, whose dihydrochloride m. 248-9° and monohydrochloride m. 233-4°; the latter, distilled under 7 mm., decomps. into pyrrolidine, m-6H4(OH)2 and resorcinol mono-δ-aminobutyl ether, b8 198-204°, m. 119-9.5° (hydrochloride, m. 159-61°), which in NaOH with p-O2NC6H4COCl gives resorcinol mono-δ-p-nitrobenzoylaminobutyl ether p-nitrobenzoate, m. 123-4°, m-Nitrophenyl γ-bromopropyl ether, from O2NC6H4OH, CH2(CH2Br)2 and Na in alc., b7 186-8°, d2020 1.513, nD25 1.5700, reduced by SnCl2-HCl to the m-amino compound, unstable yellow oil (hydrochloride, m. 114-5°), which, refluxed in C6H4, gives 6-aminochroman, b7 140-2°, d2020 1.1549, nD25 1.5944; hydrochloride, begins to decompose 134°, m. 158-60°; picrate darkens 156-60°, m. 182-3°; chloroplatinate, m. 224-5°, decomps. 227°; benzenesulfonyl derivative, m. 148-8.5°. The diazotized chroman couples with β-naphthol to a red substance, C19H16O2N2. m-Nitrophenyl allyl ether, from O2NC6H4OH, CH2:CHCH2Br and Na in alc., b8 136-7°, m. 31.5-2.0°; m-amino compound, b5 120-2°, d2020 1.0891, nD25 1.5708; hydrochloride, m. 145-6°; benzenesulfonyl derivative, m. 83-3.5°.
p-Nitrophenol β-bromoethyl ether, from O2NC6H4ONa and (CH2Br)2 in H2O, m. 64°; p-amino compound m. 84°; hydrochloride, m. 196°.

IT 50386-54-4P
RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation)
(Rings through the m- and p-positions of benzene. A study of certain ethers of resorcinol and m-aminophenol)

RN 50386-54-4 CAPLUS
CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)